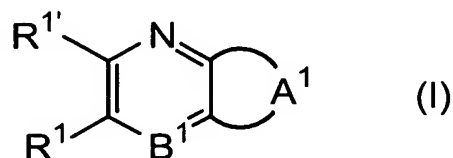


WHAT IS CLAIMED IS:

1. A compound of the general formula (I):



5 a prodrug, a pharmaceutically acceptable salt or a solvate thereof; wherein:

B¹ is -C(R²)= or -N=;

one of R¹ and R² is a group of the formula: -Z¹-Z²-Z³-R⁵ wherein

Z¹ and Z³ each are independently a single bond, optionally substituted alkylene or optionally substituted alkenylene;

10 Z² is a single bond, optionally substituted alkylene, optionally substituted alkenylene, -CH(OH)-, -S-, -SO-, -SO₂-, -SO₂N(R⁶)-, -N(R⁶)SO₂-, -O-, -N(R⁶)-, -N(R⁶)CO-, -CON(R⁶)-, -C(=O)-O-, -O-C(=O)- or -CO-;

R⁶ is hydrogen, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted aryl or optionally substituted heteroaryl; and

15 R⁵ is optionally substituted aryl, optionally substituted heteroaryl, optionally substituted cycloalkyl, optionally substituted cycloalkenyl or optionally substituted heterocycle, and

the other of R¹ and R² is hydrogen or a substituent selected from Substituent Group A;

R^{1'} is hydrogen or a substituent selected from Substituent Group A;

20 -A¹- is -C(-Y)=C(-R^A)-C(-R³)=C(-R⁴)-, -C(-Y)=C(-R^A)-C(-R³)=N-, -C(-Y)=C(-R^A)-C(=X)-N(-R⁴)-, -C(-Y)=C(-R^A)-N=C(-R⁴)-, -C(-Y)=C(-R^A)-C(-R³)-C(-R⁴)-, -C(-Y)=C(-R^A)-O-C(-R⁴)-, -C(-Y)=C(-R^A)-C(-R³)-O-, -C(-Y)=C(-R^A)-O- or -C(-Y)=C(-R^A)-C(=X)-O- wherein

X is oxygen or sulfur;

Y is -OH, -SH or -NH₂;

25 R^A is -C(=Z)R⁷ wherein Z is oxygen or sulfur; and R⁷ is a substituent selected from Substituent Group A,

-NHOH,

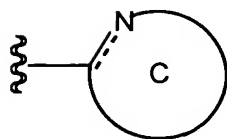
-N=NR¹⁰ wherein R¹⁰ is hydrogen, alkyl, acyl, aralkyl, aryl or heteroaryl,

-NHSO₂R¹² wherein R¹² is alkyl, aryl, aralkyl, hydroxy or amino,

-PO(OH)₂,

-PO(OH)(R¹³) wherein R¹³ is alkyl, aryl or aralkyl, or

a group of the formula:



wherein Ring C is a nitrogen-containing heteroaromatic ring group optionally substituted by one to four of substituents selected from a group consisting of Substituent Group A and a substituent represented by the formula: -Z¹-Z²-Z³-R⁵ wherein Z¹, Z², Z³ and R⁵ are as defined above;

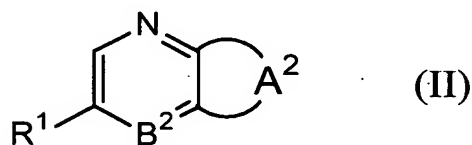
R³ and R⁴ each is independently a substituent selected from Substituent Group A or hydrogen;

Substituent Group A is a group consisting of halogen, optionally substituted alkoxy, carbonyl, carboxy, optionally substituted alkyl, optionally substituted alkoxy, alkoxyalkyl, nitro, hydroxy, hydroxyalkyl, optionally substituted alkenyl, optionally substituted alkynyl, alkylsulfonyl, alkyloxysulfonyl, optionally substituted amino, optionally substituted aminosulfonyl, alkylthio, alkylthioalkyl, haloalkyl, haloalkoxy, haloalkoxyalkyl, cycloalkyl, cycloalkenyl, oxo, thioxo, alkylenedioxy, alkylene, alkenylene, nitroso, azido, amidino, guanidine, cyano, isocyano, mercapto, optionally substituted carbamoyl, optionally substituted carbamoylalkyl, optionally substituted sulfamoyl, sulfoamino, sulfo, formyl, alkylcarbonyl, alkylcarbonyloxy, hydrazino, morpholino, phosphono, phosphinico, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycle, optionally substituted aralkyl, optionally substituted heteroaralkyl, optionally substituted aryloxy, optionally substituted heteroaryloxy, optionally substituted heterocycleoxy, optionally substituted arylthio, optionally substituted heteroarylthio, optionally substituted aralkyloxy, optionally substituted heteroaralkyloxy, optionally substituted aralkylthio, optionally substituted heteroaralkylthio, optionally substituted aryloxyalkyl, optionally substituted heteroaryloxyalkyl, optionally substituted arylthioalkyl,

optionally substituted heteroarylthioalkyl, optionally substituted arylsulfonyl,
optionally substituted heteroarylsulfonyl, optionally substituted aralkylsulfonyl,
optionally substituted heteroaralkylsulfonyl, optionally substituted alkylcarbonyl
alkyl, optionally substituted arylcarbonyl alkyl, alkylsulfonyloxy, sulfamoyloxy and
5 optionally substituted arylcarbonyl;

provided that (1) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, R^A is not the
following substituted carbamoyl; (2) when $-A^1-$ is $-C(-Y)=C(-R^A)-C(-R^3)=C(-R^4)-$, $R^{1'}$ is
hydrogen; and (3) when $-A^1-$ is $-C(-Y)=C(-R^A)-N=C(-R^4)-$, R^A is not the following
substituted carbamoyl; and that, in the substituted carbamoyl of (1) and (3), its N
10 atom is substituted with both a group of the formula: $-L-A^3$ wherein L is a single bond
or alkylene, alkenylene, cycloalkylene, alkylcycloalkylene, cycloalkylalkylene or
alkyl(cycloalkyl)alkylene, each optionally substituted and/or optionally interrupted by
a heteroatom, or $-O(C=O)-$ or $-C(=O)O-$; A^3 is optionally substituted aryl or optionally
substituted heterocycle and a group of the formula: $-R^m$ wherein R^m is a hydrogen,
15 optionally substituted alkyl or optionally substituted phenyl at the same time, or “
 R^m ” and “ $-L-A^3$ ” may be combined together with the adjacent N atom to form an
optionally substituted heteroring.

2. A compound of the general formula (II):



20 a prodrug, a pharmaceutically acceptable salt or a solvate thereof;
wherein:

B^2 is $-C(R^{2'})=$ or $-N=$;

one of R^1 and $R^{2'}$ is a group of the formula: $-Z^1-Z^2-Z^3-R^5$ wherein Z^1 , Z^2 , Z^3 and R^5 are as
defined in claim 1 and the other of R^1 and $R^{2'}$ is hydrogen;

25 $-A^2-$ is $-C(-Y)=C(-R^B)-C(-R^{24})=C(-R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})=N-$, $-C(-Y)=C(-R^B)-C(=X)-$
 $N(-R^{25})-$, $-C(-Y)=C(-R^B)-N=C(-R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})-C(-R^{25})-$, $-C(-Y)=C(-R^B)-O-C(-$
 $R^{25})-$, $-C(-Y)=C(-R^B)-C(-R^{24})-O-$, $-C(-Y)=C(-R^B)-O-$ or $-C(-Y)=C(-R^B)-C(=X)-O-$ wherein X
and Y are as defined in claim 1;

R^B is

-C(=O)R²⁶ wherein R²⁶ is hydroxy, alkoxy, alkyl, optionally substituted aryl or optionally substituted heterocycleoxy,

-CON(R⁸)(R⁹) wherein R⁸ and R⁹ each is independently hydrogen, alkyl, aralkyl or acyl,

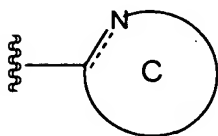
-NHOH,

-N=NR¹⁰ wherein R¹⁰ is hydrogen, alkyl, acyl, aralkyl, aryl or heteroaryl,

-NHSO₂R¹² wherein R¹² is alkyl, aryl, aralkyl, hydroxy or amino,

-PO(OH)₂,

-PO(OH)(R¹³) wherein R¹³ is alkyl, aryl or aralkyl, or a group of the formula:



wherein ring C is as defined in Claim 1;

one of R²⁴ and R²⁵ is

carboxy,

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

-(CH₂)_{1,3}OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

-C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

-C(=S)R¹⁷ wherein R¹⁷ is as defined above, or

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino) or

R¹⁴ and R¹⁵ may be combined to form optionally substituted thioamidino or R¹⁴ and R¹⁵ combined with adjacent nitrogen atom form optionally substituted nitrogen

containing heterocycle optionally having nitrogen, sulfur and/or oxygen atom in the cycle,

-(CH₂)_{0,3}OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)_{1,3}CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

5 -SO₃R²⁰ wherein R²⁰ is alkyl or hydroxy,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

-PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

10 -(CH₂)_{1,3}COR²³ wherein R²³ is alkyl or optionally substituted aryl,

-(CH₂)_{0,3}CN,

-R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl and R⁴² is hydrogen or alkyl,

-(CH₂)_{1,3}R⁴⁰ wherein R⁴⁰ is optionally substituted aryl or optionally substituted heteroaryl,

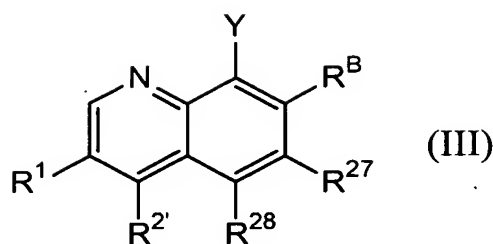
15 optionally substituted aryl or

optionally substituted heteroaryl; and

the other of R²⁴ and R²⁵ is hydrogen or heterocycle;

provided that (1) when -A¹- is -C(-Y)=C(-R^A)-C(-R³)=C(-R⁴)-, R^A is not the following substituted carbamoyl; (2) when -A¹- is -C(-Y)=C(-R^A)-C(-R³)=C(-R⁴)-, R¹ is hydrogen; and (3) when -A¹- is -C(-Y)=C(-R^A)-N=C(-R⁴)-, R^A is not the following substituted carbamoyl; and that, in the substituted carbamoyl of (1) and (3), its N atom is substituted with both a group of the formula: -L-A³ wherein L is a single bond or alkylene, alkenylene, cycloalkylene, alkylcycloalkylene, cycloalkylalkylene or alkyl(cycloalkyl)alkylene, each optionally substituted and/or optionally interrupted by a heteroatom, or -O(C=O)- or -C(=O)O-; A³ is optionally substituted aryl or optionally substituted heterocycle and a group of the formula: -R^m wherein R^m is a hydrogen, optionally substituted alkyl or optionally substituted phenyl at the same time; or “-R^m” and “-L-A³” may be combined together with the adjacent N atom to form an optionally substituted heteroring.

30 3. The compound of claim 1 represented by the general formula (III):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R⁸, R¹ and R^{2'} are as defined in claim 2;

one of R²⁷ and R²⁸ is

5 carboxy,

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

10 -(CH₂)_{1,3}OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

-C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, optionally substituted

alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally

substituted heteroaryl, optionally substituted aralkyl, optionally substituted

heteroaralkyl or optionally substituted amino,

15 -C(=S)R¹⁷ wherein R¹⁷ is as defined above, or -SO₂R²¹ wherein R²¹ is

alkyl or optionally substituted amino,

R¹⁴ and R¹⁵ may be combined to form optionally substituted

thioamidino group, or

R¹⁴ and R¹⁵ are combined together with the adjacent nitrogen to form

20 an optionally substituted nitrogen-containing heterocycle optionally containing

nitrogen, sulfur or oxygen atom in its ring,

-(CH₂)_{0,3}OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)_{1,3}CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

-SO₃R²⁰ wherein R²⁰ is alkyl or hydroxy,

25 -SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

-PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

-(CH₂)₁₋₃COR²³ wherein R²³ is alkyl or optionally substituted aryl,

-(CH₂)₀₋₃CN,

-R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl and R⁴² is hydrogen or alkyl,

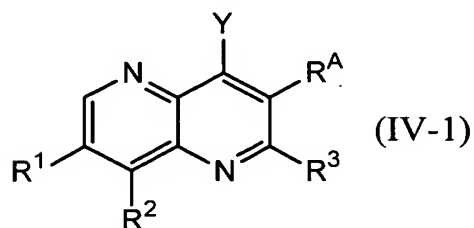
-(CH₂)₁₋₃R⁴⁰ wherein R⁴⁰ is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl or

optionally substituted heteroaryl; and

the other of R²⁷ and R²⁸ is hydrogen or heterocycle.

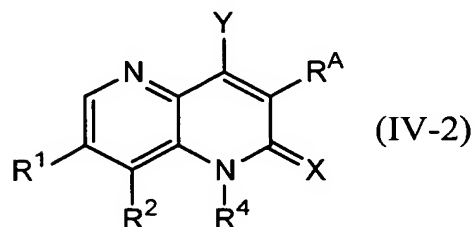
4. The compound of claim 1, represented by the general formula (IV-1):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R¹, R² and R³ are as defined in claim 1.

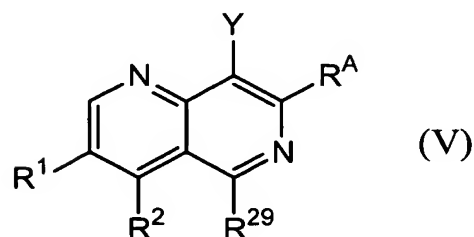
5. The compound of claim 1, represented by the general formula (IV-2):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein X, Y, R^A, R¹, R² and R⁴ are as defined in claim 1.

6. The compound of claim 1, represented by the general formula (V):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R¹ and R² are as defined in claim 1;

R²⁹ is hydrogen,

carboxy,

5 -N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

-(CH₂)₁₋₃OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

10 -C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, alkoxy, alkyl, haloalkyl,
alkoxy alkyl, cycloalkyl, alkoxy carbonylmethyl, optionally substituted aryl or
optionally substituted heteroaryl,

-C(=S)R¹⁷ wherein R¹⁷ is as defined above,

15 -SO₂R²¹ wherein R²¹ is alkyl, optionally substituted aryl, optionally
substituted aralkyl or optionally substituted amino,

R¹⁴ and R¹⁵ may be combined together to form an optionally substituted
thioamidino group, or

20 R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen atom to
form optionally substituted nitrogen containing heterocycle optionally possessing
nitrogen, sulfur and/or oxygen in its ring,

-(CH₂)₀₋₃OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)₁₋₃CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

-SO₃R²⁰ where R²⁰ is alkyl or hydroxy,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

25 -PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

-(CH₂)₁₋₃COR²³ wherein R²³ is alkyl or optionally substituted aryl,

-(CH₂)₀₋₃CN,

30 -R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl and R⁴² is hydrogen or alkyl,

$-(CH_2)_{1-3}R^{40}$ wherein R^{40} is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl,

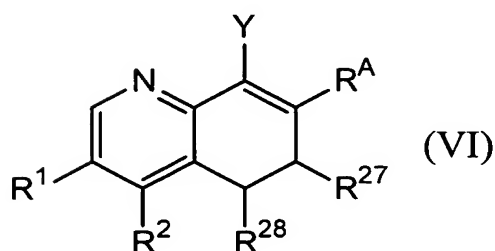
optionally substituted heteroaryl,

5 optionally substituted alkynyl,

optionally substituted alkylthio, or

optionally substituted alkoxy.

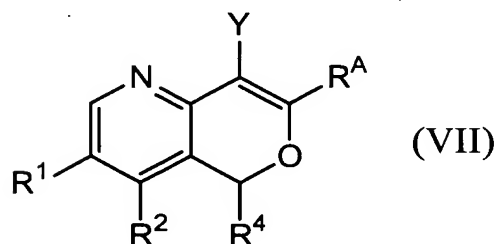
7. The compound of claim 1, represented by the general formula (VI):



10 the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A , R^1 and R^2 are as defined in claim 1; and R^{27} and R^{28} are as defined in claim 3.

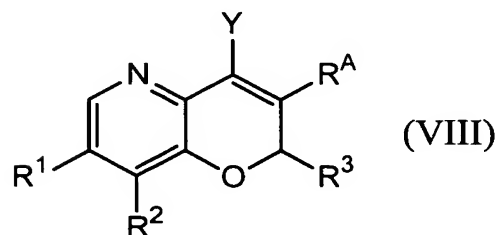
8. The compound of claim 1, represented by the general formula (VII):



15 the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A , R^1 , R^2 and R^4 are as defined in claim 1.

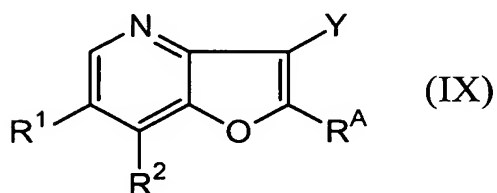
9. The compound of Claim 1, represented by the general formula (VIII):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R¹, R² and R³ are as defined in Claim 1.

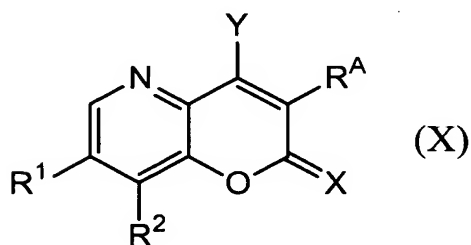
10. The compound of claim 1, represented by the general formula (IX):



5 the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein Y, R^A, R¹ and R² are as defined in claim 1.

11. The compound of claim 1, represented by the general formula (X):



the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

10 wherein X, Y, R^A, R¹ and R² are as defined in claim 1.

12. The compound of claim 1, the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein R³ or R⁴ is

a carboxy or

15 -N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

acyl,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino, or

20 R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen atom to form nitrogen-containing heterocycle optionally containing sulfur in its ring.

13. The compound of claim 1, the prodrug, the pharmaceutically acceptable salt or the solvate thereof;

wherein R³ or R⁴ is

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

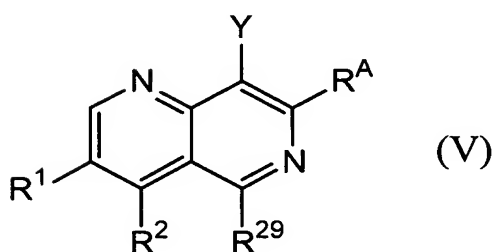
alkyl,

acyl,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino, or

R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen atom to form a nitrogen-containing heterocycle optionally containing sulfur in its ring.

14. The compound of claim 1, represented by the formula:



the prodrug, the pharmaceutically acceptable salt or solvate thereof;

wherein R¹ is a group of the formula: -Z¹-Z²-Z³-R⁵ wherein Z¹, Z², Z³ and R⁵ are as defined in claim 1;

R² is hydrogen;

R²⁹ is hydrogen, halogen, optionally substituted amino, optionally substituted alkoxy, alkylsulfonyloxy, sulfamoyloxy, alkylthio, alkylsulfonyl, optionally substituted sulfamoyl, optionally substituted alkenyl; optionally substituted alkynyl, optionally substituted aryl, carboxy, alkoxycarbonyl, optionally substituted carbamoyl, acyl or optionally substituted alkyl;

R^A is a group of the formula: -C(=O)-R⁷ wherein R⁷ is hydroxy, optionally substituted alkoxy, optionally substituted amino, optionally substituted alkyl, optionally substituted aralkyl or optionally substituted heterocycleoxy; and Y is hydroxy.

15. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

R¹ is benzyl optionally substituted by halogen;

R² is hydrogen;

R²⁹ is hydrogen, halogen, optionally substituted amino, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted alkynyl, optionally substituted aryl, carboxy, alkoxycarbonyl or optionally substituted carbamoyl;

5 R^A is a group of the formula: -C(=O)-R⁷ wherein R⁷ is

hydroxy,

optionally substituted alkoxy,

NR⁸R⁹ wherein R⁸ and R⁹ each is independently hydrogen, optionally substituted alkyl, optionally substituted alkoxy or optionally substituted amino,

10 optionally substituted alkyl or

optionally substituted heterocycleoxy; and

Y is hydroxy.

16. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt, or the solvate thereof, wherein:

15 R¹ is benzyl optionally substituted by halogen;

R² is hydrogen;

R²⁹ is hydrogen, halogen, optionally substituted amino, optionally substituted alkenyl; optionally substituted alkynyl, carboxy, alkoxycarbonyl or optionally substituted carbamoyl;

20 R^A is a group of the formula: -C(=O)-R⁷ wherein R⁷ is

hydroxy,

optionally substituted alkoxy,

NR⁸R⁹ wherein R⁸ is hydrogen and R⁹ is

hydrogen,

25 alkyl optionally substituted by alkoxy or

amino optionally substituted alkyl, or

optionally substituted heterocycleoxy; and

Y is hydroxy.

17. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

30

R¹ is benzyl optionally substituted by halogen;

R² is hydrogen;

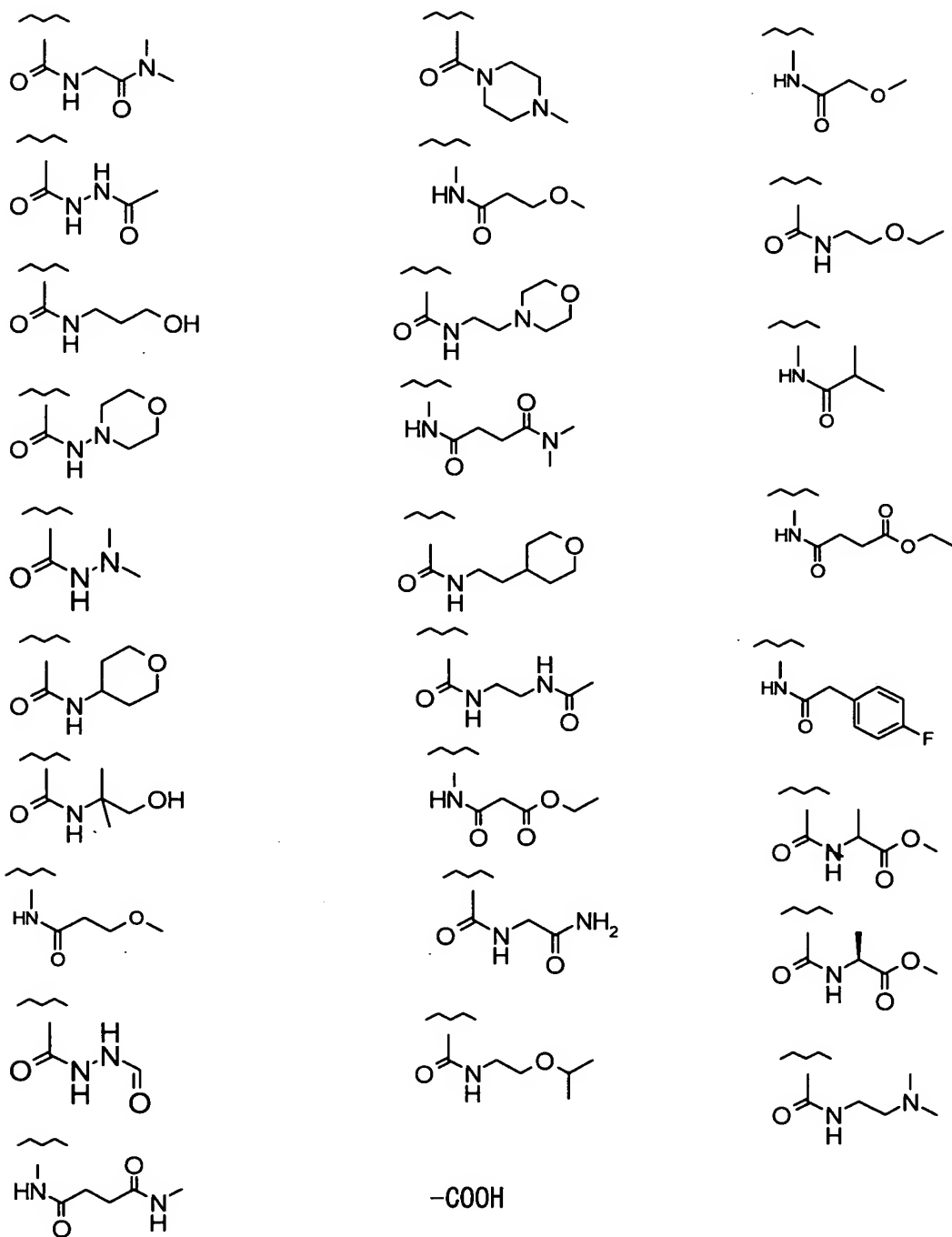
R^A is a group of the formula: -C(=O)-R⁷ wherein R⁷ is hydroxy, methoxy, -NH₂, -

NHCH₂CH₂OCH₃, -NHCH₂CH₂OCH₃, -NHN(CH₃)₂, -NHCH₂CH₂OCH₃, -(CH₂)₃OCH₃, -

5 O(CH₂)₃OCH₃, -OCH(CH₃)CH₂OCH₃, optionally substituted piperidyloxy or optionally substituted tetrahydropyranyloxy;

Y is hydroxy; and

R²⁹ is any one of the following groups:



an optionally substituted amino selected from the group consisting of -NHSO₂Me, -NHCOMe, -NHSO₂NMe₂, -NHSO₂iPr, -NHSO₂-Ph-4-F, -NHSO₂Et, -NHSO₂Bn, -NHSO₂CH₂CF₃, -NHSO₂CH₂CO₂Me, -NHSO₂CHCH₂iPr, -NHSO₂CHCH₂Ph, -NHCOCH₂CH₂OMe, -NHCOPh, -NHCOEt, -NHCO-c-Pr, -NHCO-c-hex, -NHCOCH₂CO₂Et, -NHCO-2-thienyl, -NHCO-5-isoxazolyl, -NHCONMe₂, -NHCO₂Et, -NHCOCO₂Et, -NHCOCH₂CH₂CO₂Me, N-succinimide, -NHCOCOCONMe₂, -NHCO

CH₂CONMe₂, NHCOCONH₂, -NHCO₂Me, -NHCO-2-pyrimidine, -NHCO-2-furan, -NHCO-3-triazol-1-Me, -NHCO₂iPr, -NHCO₂CH₂CH₂OMe, p-toluenesulfonylamino, (2-thiazole-4-yl)acetylamino, 2-(dimethylcarbamoyl)acetylamino, thiazole-4-carbonylamino, methylaminooxazalylamino and (thiazole-5-carbonyl)amino,

5 an optionally substituted alkynyl selected from the group consisting of -C≡CCH₂OMe, -C≡CPh, -C≡C-N-Pr, -C≡CCO₂Me, -C≡CCH₂NHAc, -C≡CCH₂NHSO₂Me, -C≡C-c-pentyl(1-OH) and -C≡CCH₂OH,

an optionally substituted carbamoyl selected from the group consisting of -CONH-iPr, -CONHCH₂CH₂OMe, -CONH-N-morpholyl, -CONHNHAc, -CO-(4-Me-piperazine), -CONH-(2-thiazol), -CONHCH₂CONMe₂, -CONH(CH₂)₃OCOCF₃, -CONEt₂, -CO-morpholyl, -CONHSO₂Me, -CONMeSO₂Me and -CONHSO₂Ph, -CF₃, -COMe, -SMe, -SO₂Me, -OMe, -OCH₂CO₂Me, -OCH₂CH₂OMe, -CH₂CH=CH₂, -CN, 4-piperidinyl, -NH₂, hydrogen, Cl, Br, COOMe, 2-oxo-pyrrolidinyl, 2-oxopiperidyl or 4-(hydroxymethyl)phenyl.

15 18. The compound of claim 14, the prodrug, the pharmaceutically acceptable salt or the solvate thereof, wherein:

R¹ is a benzyl optionally substituted by halogen;

R² is hydrogen;

20 R^A is a group of the formula: -C(=O)-R⁷ wherein R⁷ is methoxy, -NHCH₂CH₂OCH₃, -NH₂, -NHN(CH₃)₂, -O(CH₂)₃OCH₃, -OCH(CH₃)CH₂OCH₃, optionally substituted piperidyloxy (substituent: acetyl or methanesulfonyloxy) or optionally substituted tetrahydropyranyloxy;

Y is hydroxy; and

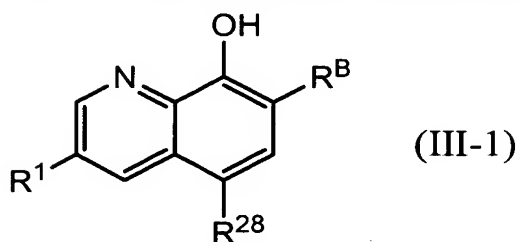
R²⁹ is

25 an optionally substituted amino selected from the group consisting of -NHCOMe, -NHSO₂NMe₂, -NHCOCH₂CH₂OMe, -NHCOPh, -NHCOCH₂CO₂Et, -NHCO-2-thienyl, -NHCO₂Et, -NHCOCH₂CH₂CO₂Me, -NHCOCONMe₂ and -NHCOCONH₂),

an optionally substituted alkynyl selected from the group consisting of -C≡CCH₂OMe, -C≡CCH₂NHAc, -C≡CCH₂NHSO₂Me, -C≡C-c-pen-(1-OH) and -C≡CCH₂OH,

-CH₂CH=CH₂, 4-piperidyl or hydrogen.

19. The compound of claim 19, represented by the formula:



the pharmaceutically acceptable salt or the solvate thereof;

wherein:

R^B is -C(=O)R²⁶ wherein R²⁶ is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl or optionally substituted heterocycleoxy or

-CON(R⁸)(R⁹) wherein R⁸ and R⁹ each is independently hydrogen, alkyl or alkoxy;

R¹ is a group of the formula: -Z²-R⁵ wherein Z² is optionally substituted alkylene; R⁵ is optionally substituted aryl;

R²⁸ is carboxy,

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

-(CH₂)₁₋₃OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

-C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, optionally substituted

alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

-C(=S)R¹⁷ wherein R¹⁷ is as defined above,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

R¹⁴ and R¹⁵ may be combined to form an optionally substituted

thioamidino group, or

R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen to form optionally substituted nitrogen containing heterocycle optionally having nitrogen,

sulfur and/or oxygen in its ring,

-(CH₂)_{0.3}OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)_{1.3}CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

-SO₃R²⁰ wherein R²⁰ is alkyl or hydroxy,

5 -SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

-PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

-(CH₂)_{1.3}COR²³ wherein R²³ is alkyl or optionally substituted aryl,

10 -(CH₂)_{0.3}CN,

-R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl, R⁴² is hydrogen or alkyl,

-(CH₂)_{1.3}R⁴⁰ wherein R⁴⁰ is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted alkenyl,

15 optionally substituted alkynyl,

optionally substituted aryl or

optionally substituted heteroaryl.

20. The compound of claim 19, the pharmaceutically acceptable salt or the solvate thereof, wherein:

20 R^B is -C(=O)R²⁶ wherein R²⁶ is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl or optionally substituted heterocycleoxy;

R¹ is a group of the formula: -Z²-R⁵ wherein Z² is methylene; R⁵ is phenyl optionally substituted by halogen;

R²⁸ is

25 carboxy,

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

30 -(CH₂)_{1.3}OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

-C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, optionally substituted alkoxy, optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

5 -C(=S)R¹⁷ wherein R¹⁷ is as defined above,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

R¹⁴ and R¹⁵ may be combined together to form optionally substituted thioamidino group, or

10 R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen atom to form an optionally substituted nitrogen-containing heterocycle optionally possessing sulfur and/or oxygen in its ring,

-(CH₂)_{0.3}OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)_{1.3}CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

-SO₃R²⁰ wherein R²⁰ is alkyl or hydroxy,

15 -SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

-PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

-(CH₂)_{1.3}COR²³ wherein R²³ is alkyl or optionally substituted aryl,

20 -(CH₂)_{0.3}CN,

-R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl and R⁴² is hydrogen or alkyl,

-(CH₂)_{1.3}R⁴⁰ wherein R⁴⁰ is optionally substituted aryl or optionally substituted heteroaryl,

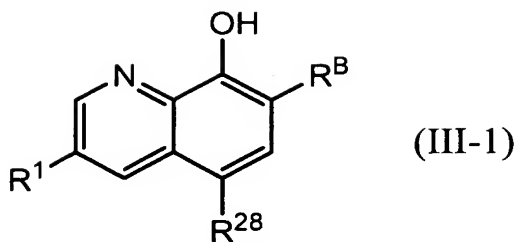
optionally substituted alkenyl,

25 optionally substituted alkynyl,

optionally substituted aryl or

optionally substituted heteroaryl.

21. The compound of claim 1, represented by the formula:



the pharmaceutically acceptable salt or the solvate thereof;

wherein:

R^B is a group of the formula: -C(=O)R²⁶ wherein R²⁶ is hydroxy, optionally substituted alkoxy, optionally substituted alkyl, optionally substituted alkoxyalkyl, optionally substituted cycloalkyl or optionally substituted heterocycleoxy;

R¹ is a group of the formula: -CH₂-R⁵ wherein R⁵ is phenyl optionally substituted by halogen; and

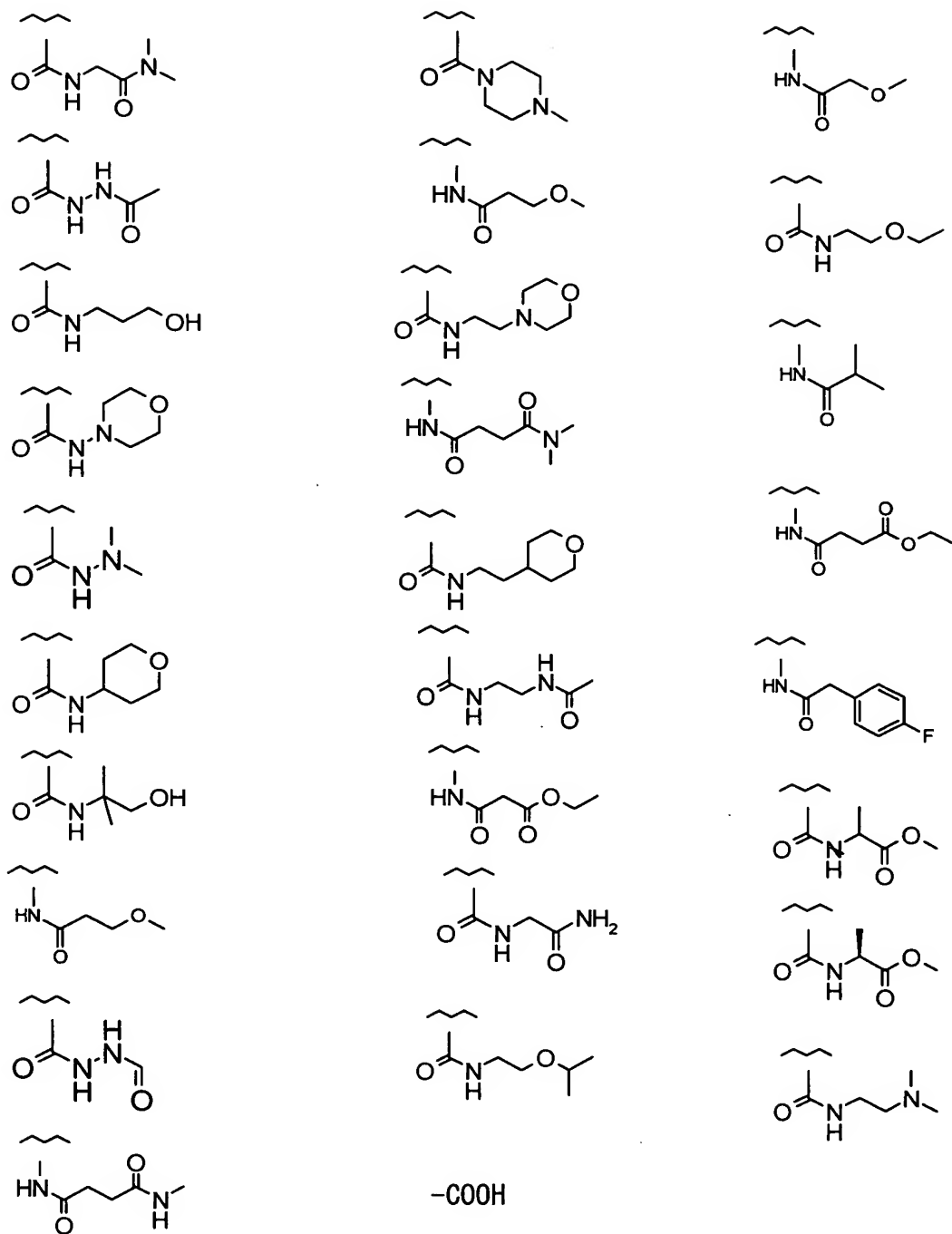
R²⁸ is carboxy, optionally substituted alkyl, optionally substituted alkenyl, optionally substituted amino, optionally substituted carbamoyl, optionally substituted acyl, optionally substituted aralkyloxycarbonyl, optionally substituted heteroring, optionally substituted (heteroring)alkyl or optionally substituted aryl.

22. The compound of claim 19, the pharmaceutically acceptable salt or the solvate thereof, wherein

R^B is a group of the formula: -C(=O)R²⁶ wherein R²⁶ is hydroxy, alkoxy or optionally substituted heterocycleoxy;

R¹ is a group of the formula: -CH₂-R⁵ wherein R⁵ is phenyl optionally substituted by halogen; and

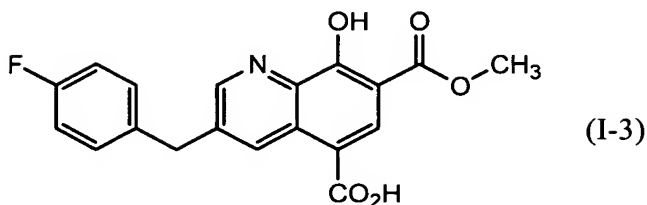
R²⁸ is a group shown below:



23. The compound of claim 22, the pharmaceutically acceptable salt or the solvate thereof, wherein R^B is $-C(=O)R^{26}$ wherein R^{26} is alkoxy.

5 24. The compound of claim 22, the pharmaceutically acceptable salt or the solvate thereof, wherein R^B is $-C(=O)R^{26}$ wherein R^{26} is alkoxy and R^{28} is carboxy.

25. A compound of the formula:



a pharmaceutically acceptable salt or a solvate thereof.

26. The compound of the formula (I-3) of Claim 25, an alkali metal salt, alkali
5 earth metal salt or amine salt thereof.

27. The compound of the formula (I-3) of Claim 25, a meglumine salt or solvate
thereof.

28. A pharmaceutical composition comprising the compound of any one of claims
1 to 27, a prodrug, a pharmaceutically acceptable salt or a solvate thereof.

10 29. The pharmaceutical composition of claim 28 that is for inhibiting an enzyme.

30. The pharmaceutical composition of claim 28 that is for inhibiting a nucleic
acid-related enzyme.

31. The pharmaceutical composition of claim 28 that is for inhibiting an HIV
integrase.

15 32. The pharmaceutical composition of claim 28 that is for anti-HIV.

33. The pharmaceutical composition of claim 28 that is for preventing or
treating AIDS or AIDS-related complications.

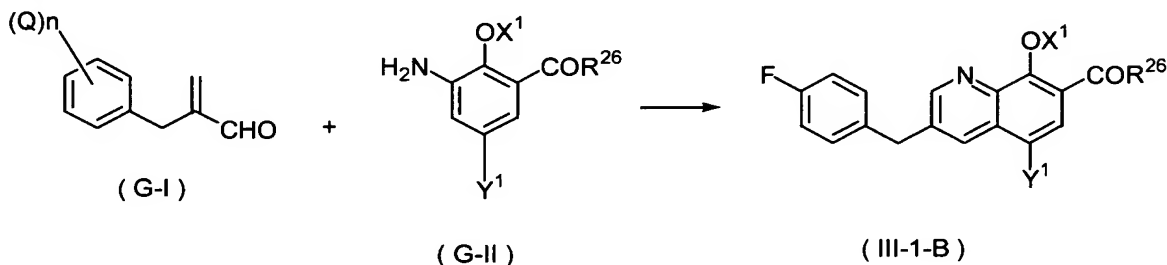
34. A mixed composition for anti-HIV comprising the pharmaceutical
composition of claim 31 together with a reverse transcriptase inhibitor and/or a
20 protease inhibitor.

35. The pharmaceutical composition of claim 31 that is for elevating an anti-HIV
activity of a reverse transcriptase inhibitor and/or a protease inhibitor.

36. A method for preventing or treating AIDS or AIDS related complications,
which comprises administering the pharmaceutical composition of claim 28.

25 37. Use of the compound of any one of claims 1 to 27 for preparing a
pharmaceutical composition for preventing or treating AIDS or AIDS-related
complications.

38. A process for preparing Compound (III-1-B), which comprises reacting Compound (G-I) and Compound (G-II) in the presence of an acid catalyst as represented by the following scheme:



wherein Q is halogen; n is an integer 0 to 3; X¹ is hydrogen or protective group of phenolic hydroxy; R²⁶ is hydroxy, alkoxy, alkyl, alkoxyalkyl, cycloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted heterocycleoxy or -N(R⁸)(R⁹) wherein R⁸ and R⁹ each is independently hydrogen, alkyl or alkoxy;

Y¹ is

hydrogen,

halogen,

carboxy,

alkoxycarbonyl,

optionally substituted carbamoyl,

-N(R¹⁴)(R¹⁵) wherein R¹⁴ and R¹⁵ each is independently

hydrogen,

alkyl,

cycloalkyl,

-(CH₂)₁₋₃OR¹⁶ wherein R¹⁶ is hydrogen, alkyl, acyl or aryl,

-C(=O)R¹⁷ wherein R¹⁷ is hydrogen, hydroxy, optionally substituted alkoxy,

optionally substituted alkyl, haloalkyl, optionally substituted aryl, optionally substituted heteroaryl, optionally substituted aralkyl, optionally substituted heteroaralkyl or optionally substituted amino,

-C(=S)R¹⁷ wherein R¹⁷ is as defined above,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

R¹⁴ and R¹⁵ may be combined to form an optionally substituted thioamidino group, or

R¹⁴ and R¹⁵ may be combined together with the adjacent nitrogen to form optionally substituted nitrogen containing heterocycle optionally possessing nitrogen, sulfur and/or oxygen in its ring,

-(CH₂)₀₋₃OR¹⁸ wherein R¹⁸ is hydrogen, alkyl, acyl or aryl,

-(CH₂)₁₋₃CONHR¹⁹ wherein R¹⁹ is hydrogen, alkyl, acyl or aryl,

-SO₃R²⁰ wherein R²⁰ is alkyl or hydroxy,

-SO₂R²¹ wherein R²¹ is alkyl or optionally substituted amino,

-PO(OH)₂,

-PO(OH)(R²²) wherein R²² is alkyl,

haloalkyl,

-(CH₂)₁₋₃COR²³ wherein R²³ is alkyl or optionally substituted aryl,

-(CH₂)₀₋₃CN,

-R⁴¹-COOR⁴² wherein R⁴¹ is alkenyl and R⁴² is hydrogen or alkyl,

-(CH₂)₁₋₃R⁴⁰ wherein R⁴⁰ is optionally substituted aryl or optionally substituted heteroaryl,

optionally substituted aryl or

optionally substituted heteroaryl.

39. The process of claim 38, wherein (Q)_n is F; R²⁶ is alkoxy; Y¹ is hydrogen, halogen, carboxy or alkoxycarbonyl; and X¹ is an ether type protecting group or an ester type protecting group.

40. The process of claim 38, wherein (Q)_n is p-F; R²⁶ is methoxy; Y¹ is hydrogen, halogen, carboxy or methoxycarbonyl; X¹ is hydrogen, alkyl or aralkyl.

41. The process of claim 38, in which the reaction is carried out in the presence of an acid catalyst and an oxidizing reagent.